## (19) World Intellectual Property Organization

International Bureau



## 

(43) International Publication Date 29 September 2005 (29.09.2005)

PCT

## (10) International Publication Number WO 2005/090268 A1

- (51) International Patent Classification?: C07B 59/00, C07C 233/75, 271/22, A61K 51/04, A61P 43/00
- (21) International Application Number:

PCT/JP2005/005600

- (22) International Filing Date: 18 March 2005 (18.03.2005)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

2004-079116

18 March 2004 (18.03.2004) J

- (71) Applicant (for all designated States except US): SUNTORY LIMITED [JP/JP]; 1-40, Dojimahama 2-chome, Kita-ku, Osaka-shi, Osaka, 5308203 (JP).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): SHIMAMOTO, Keiko [JP/JP]; 3-4-6, Takanodai, Suita-shi, Osaka, 5650861 (JP). SAJI, Hideo [JP/JP]; 25-161, Ohshima, Momoyama-cho, Fushimi-ku, Kyoto-shi, Kyoto, 6128006 (JP). KUGE, Yuji [JP/JP]; 624, Fukakusa Ikenouchi-cho, Fushimi-ku, Kyoto-shi, Kyoto, 6120031 (JP). UEDA, Masashi [JP/JP]; 145-301, Umenoki-cho, Shin-karasuma-dori-ebisugawa-agaru, Nakagyo-ku, Kyoto-shi, Kyoto, 6040905 (JP). SATOH, Masanichi [JP/JP]; 23-3, Yakou-cho, Shimogamo, Sakyo-ku. Kyoto-shi, Kyoto, 6060837 (JP). NAKAGAWA, Takayuki [JP/JP]; 4-1-206,

Kudo-cho, Matsugasaki, Sakyo-ku, Kyoto-shi, Kyoto, 6060961 (JP).

- (74) Agent: MURAKAMI, Kiyoshi; YUASA AND HARA, Section 206, New Ohtemachi Bldg., 2-1, Ohtemachi 2-chome, Chiyoda-ku, Tokyo 1000004 (JP).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

## Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: RADIOLABELED 3-[3-(BENZOYL-AMIDO)BENZYLOXY]ASPARTIC ACID DERIVATIVE AND METHOD OF PRODUCING THE SAME

$$R^{2}O_{2}C$$

$$CO_{2}R^{1}$$

$$(1)$$

(57) Abstract: The present invention provides a radiolabeled ligand which is highly selective and potent for glutamate transporters and is usable in specifically detecting the glutamate transporter. Specifically, the present invention provides a 3-[3-(benzoylamido)benzyloxy]aspartic acid having a radioactive substituent on the benzoyl group which is represented by the following formula (1), or an ester or salt thereof: (1) wherein X represents a substituent containing a radioactive atom(s) which is selected from a straight or branched lower aliphatic alkyl group, a hydroxyl group, a straight or branched lower aliphatic alkoxy group, an amino group, a straight or branched lower aliphatic acylamido group, a

halogen atom and a straight or branched lower aliphatic haloalkyl group; and R1 and R2 each represents a hydrogen atom, a straight or branched lower aliphatic alkyl group or an acetoxymethyl group.

WO 2005/090268 A1